



IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicants : R. Fischer et al.
Serial No. : 10/765,249
Filed : January 27, 2004
For : COMBINATIONS OF ACTIVE INGREDIENTS WITH
INSECTICIDAL AND ACARICIDAL PROPERTIES
Group Art Unit : 1616
Examiner :

DECLARATION

Dr. Wolfgang Thielert hereby declares:

- that he is an agronomist having studied at the University of Bonn, Germany;
- that he received his doctor's degree in agriculture at the University of Bonn, Germany in 1984;
- that he entered the employ of Bayer in 1984;
- that he has specialized in plant protection (phytopharmacology);
- that the following tests have been carried out under his supervision and direction

Formula for the efficacy of the combination of two compounds

The expected efficacy of a given combination of two compounds is calculated as follows (see Colby, S.R., „Calculating Synergistic and Antagonistic Responses of Herbicide Combinations“, Weeds 15, pp. 20-22, 1967):

If

X is the efficacy expressed in % mortality of the untreated control for test compound A at a concentration of m ppm,

Y is the efficacy expressed in % mortality of the untreated control for test compound B at a concentration of n ppm,

E is the efficacy expressed in % mortality of the untreated control using the mixture of A and B at m and n ppm,

$$\text{then is } E = X + Y - \frac{X \times Y}{100}$$

If the observed insecticidal efficacy of the combination is higher than the one calculated as „E“, then the combination of the two compounds is more than additive, i.e., there is a synergistic effect.

Example A

Heliothis armigera test

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Soybean shoots (*Glycine max*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the cotton boll worm (*Heliothis armigera*) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table A
plant damaging insects
Heliothis armigera - test

active compound	active compound concentration in ppm	mortality in % after 6d	
Diafenthiuron	20	40	
Spiromesifen	100	0	
Diafenthiuron + Spiromesifen (1:5)			
according to the invention	20 + 100	<u>obs.*</u> 60	<u>cal.**</u> 40
Spinosad	0,16	10	
Spiromesifen	100	0	
Spinosad + Spiromesifen (1:625)			
according to the invention	0,16 + 100	<u>obs.*</u> 90	<u>cal.**</u> 10

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example B

Phaedon cochleariae - larvae

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the mustard beetle (*Phaedon cochleariae*) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the beetle larvae have been killed; 0 % means that none of the beetle larvae have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table B

plant damaging insects
Phaedon cochleariae larvae - test

active compound	active compound concentration in ppm	mortality in % after 6d	
Fenpyroximate			
	4	10	
Spiromesifen			
	100	10	
Fenpyroximate + Spiromesifen (1:25)			
according to the invention		<u>obs.*</u>	<u>cal.**</u>
	4 + 100	50	19
Spinosad			
known			
	0,16	25	
Spiromesifen			
known			
	100	0	
Spinosad + Spiromesifen (1:625)			
according to the invention		<u>obs.*</u>	<u>cal.**</u>
	0,16 + 100	70	25

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example C

Plutella xylostella - test (sensible strain)

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the diamondback moth (*Plutella xylostella*/sensible strain) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table C

plant damaging insects
Plutella xylostella (sensible strain) - test

active compound	active compound concentration in ppm	mortality in % after 6 ^d	
Azocyclotin	100	0	
Spiromesifen	100	30	
Azocyclotin + Spiromesifen (1:1)			
according to the invention	100 + 100	<u>obs.*</u> 95	<u>cal.**</u> 30
active compound	active compound concentration in ppm	mortality in % after 3 ^d	
Fenpyroximate	4	10	
Spiromesifen	100	35	
Fenpyroximate + Spiromesifen (1:25)			
according to the invention	4 + 100	<u>obs.*</u> 85	<u>cal.**</u> 41,5

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Table C

plant damaging insects
Plutella xylostella (normal strain) - test

active compound	active compound concentration in ppm	mortality in % after 3 ^d	
Fenazaquin			
	100	0	
Spiromesifen			
	100	0	
Fenazaquin + Spiromesifen (1 : 1)			
according to the invention	100 + 100	<u>obs.*</u> 30	<u>cal.**</u> 0
active compound	active compound concentration in ppm	mortality in % after 6 ^d	
Spinosad			
	0,032	80	
Spiromesifen			
	100	15	
Spinosad + Spiromesifen (1:3125)			
according to the invention	0,032 + 100	<u>obs.*</u> 100	<u>cal.**</u> 83

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Table C

plant damaging insects
Plutella xylostella (normal strain) - test

active compound	active compound concentration in ppm	mortality in % after 6 ^d
Endosulfan		
known	4	15
Spiromesifen		
known	100	50
Endosulfan + Spiromesifen (1:25)		
according to the invention	4 + 100	<u>obs.*</u> 75 <u>cal.**</u> 57,5

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example D

Plutella xylostella test (resistant strain)

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 2 parts by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with larvae of the diamondback moth (*Plutella xylostella*/resistant strain) as long as the leaves are still moist.

After the specified period of time, the mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table D

plant damaging insects
Plutella xylostella (resistant strain) - test

active compound	active compound concentration in ppm	mortality in % after 3d	
Fenpyroximate			
known	20	40	
Spiromesifen			
known	100	15	
Fenpyroximate + Spiromesifen (1:5)			
according to the invention			
	20 + 100	<u>obs.</u> * 80	<u>cal.</u> ** 49

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example F

Spodoptera frugiperda test

Solvent: 7 parts by weight of dimethylformamide

Emulsifier: 2 parts by weight of alkylaryl polyglycolether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Cabbage leaves (*Brassica oleracea*) are treated by being dipped into the preparation of the active compound of the desired concentration and are infested with caterpillars of the fall army worm (*Spodoptera frugiperda*) while the leaves are still moist.

After the specified period of time, mortality in % is determined. 100 % means that all the caterpillars have been killed; 0 % means that none of the caterpillars have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table F
plant damaging insects
Spodoptera frugiperda - test

active compound	active compound concentration in ppm	mortality in % after 6 ^d	
<hr/>			
Fenpyroximate	100	25	
<hr/>			
Spiromesifen	500	40	
<hr/>			
Fenpyroximate + Spiromesifen (1:5)			
according to the invention		<u>obs.*</u>	<u>cal.**</u>
	100 + 500	100	55
<hr/>			

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

Example G

Tetranychus test (OP-resistant/dip test)

Solvent: 7 parts by weight of dimethylformamide
Emulsifier: 1 part by weight of alkylaryl polyglycoether

To produce a suitable preparation of active compound, 1 part by weight of active compound is mixed with the stated amount of solvent and emulsifier, and the concentrate is diluted with emulsifier-containing water to the desired concentration.

Bean plants (*Phaseolus vulgaris*) which are heavily infested with all stages of the two-spotted spider mite (*Tetranychus urticae*) are treated by being dipped into the preparation of the active compound of the desired concentration.

After the specified period of time, mortality in % is determined. 100 % means that all the spider mites have been killed; 0 % means that none of the spider mites have been killed.

According to the present application in this test e.g. the following combinations show a synergistic effect in comparison to the single compounds:

Table G
plant damaging mites
Tetranychus urticae - test

active compound	active compound concentration in ppm	mortality in % after 7d	
Spinosad	4	0	
Spiromesifen	0,8	40	
Spinosad + Spiromesifen (5 : 1)			
according to the invention	4 + 0,8	<u>obs.*</u> 65	<u>cal.**</u> 40

*obs. = observed insecticidal efficacy

** cal. = efficacy calculated with Colby-formula

8.8.2006

Date

Wolfgang Thielert

Dr. Wolfgang Thielert